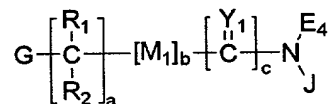


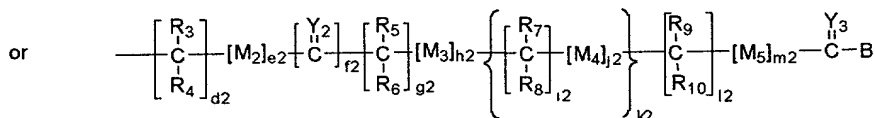
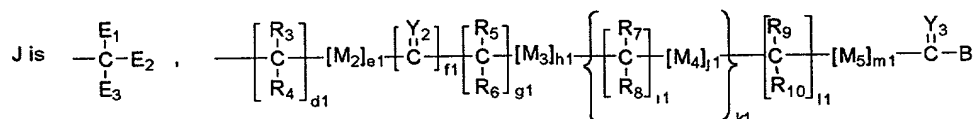
**WE CLAIM:**

1. A compound comprising the formula:

(I)



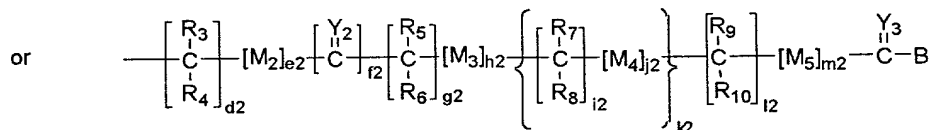
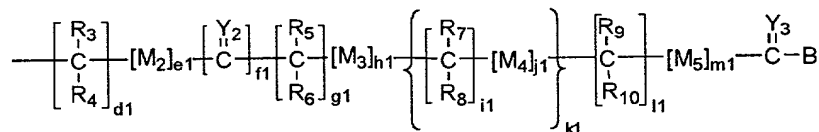
5 wherein:



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$E_{1-4}$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyls,  $C_{3-12}$  branched alkyls,  $C_{3-8}$  cycloalkyls,  $C_{1-6}$  substituted alkyls,  $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls,  $C_{1-6}$  alkoxy, phenoxy,  $C_{1-6}$  heteroalkoxy,

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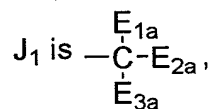
and at least one of  $E_{1-4}$  includes a B moiety;

B is a leaving group, OH, a residue of a hydroxyl-containing moiety, a residue of an amine-containing moiety or



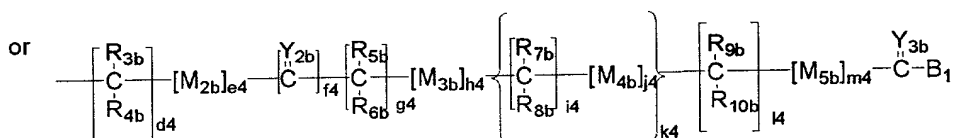
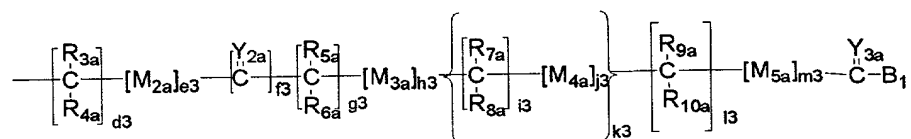
25

wherein  $E_5$  is independently selected from the same group which defines

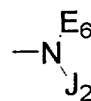
 $E_{1-4}$ ;

30  $E_{1a-3a}$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyls,

C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls, substituted C<sub>1-6</sub> heteroalkyls, C<sub>1-6</sub> alkoxy, phenoxy, C<sub>1-6</sub> heteroalkoxy,



- 5 wherein B<sub>1</sub> is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety or



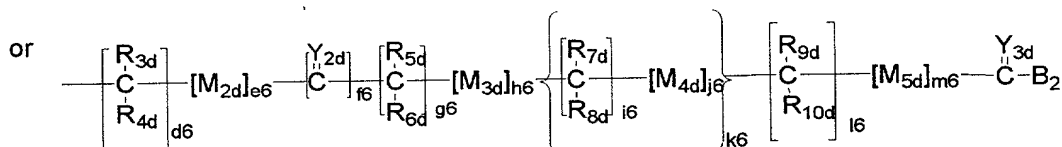
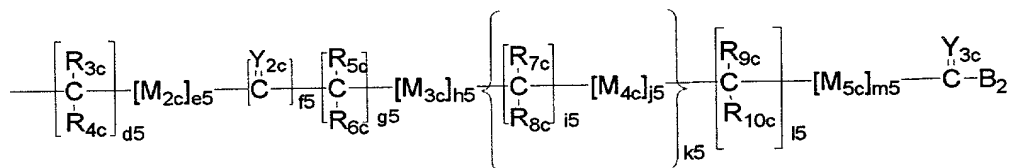
wherein E<sub>6</sub> is independently selected from the same group which defines

E<sub>1-4</sub>;

- 10 J<sub>2</sub> is  $\begin{array}{c} E_{1b} \\ | \\ -C-E_{2b} \\ | \\ E_{3b} \end{array}$ ,

wherein E<sub>1b-3b</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls, substituted C<sub>1-6</sub> heteroalkyls, C<sub>1-6</sub> alkoxy, phenoxy, C<sub>1-6</sub> heteroalkoxy,

- 15



wherein B<sub>2</sub> is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety;

G is a polymeric residue;

Y<sub>1-3</sub>, Y<sub>2a-d</sub> and Y<sub>3a-d</sub> are each independently O, S or NR<sub>11a</sub>

5 M<sub>1-4</sub>, M<sub>2a-2d</sub>, M<sub>3a-3d</sub>, and M<sub>4a-4d</sub> are each independently O, S or NR<sub>11b</sub>;

M<sub>5</sub> and M<sub>5a-d</sub> are each independently X or Q,

wherein X is an electron withdrawing group and Q is a moiety containing a free electron pair positioned three to six atoms from C(=Y<sub>3</sub>) or C(=Y<sub>3a-d</sub>);

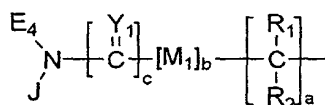
10 R<sub>1-10</sub>, R<sub>1a-11a</sub>, R<sub>1b-11b</sub>, R<sub>1c-10c</sub> and R<sub>1d-10d</sub> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls, substituted C<sub>1-6</sub> heteroalkyls, C<sub>1-6</sub> alkoxy, phenoxy and C<sub>1-6</sub> heteroalkoxy; and

15 a, b, c, d1- d6, e1-e6, f1-f6, g1- g6, h1- h6, i1- i6, j1- j6, k1- k6, l1- l6, m1- m6 are each independently zero or a positive integer.

2. The compound of claim 1, wherein G further comprises a capping group A, which is selected from the group consisting of hydrogen, CO<sub>2</sub>H, C<sub>1-6</sub> alkyl moieties, and

20

(I')

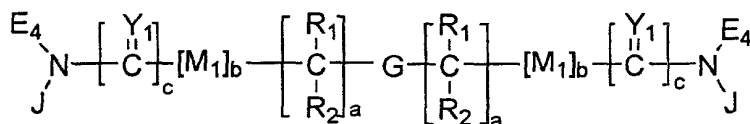


wherein a, b, c, R<sub>1-2</sub>, M<sub>1</sub>, Y<sub>1</sub>, E<sub>4</sub> and J are the same as set forth in claim 1.

25

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3. A compound of claim 2, of the formula:



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4. The compound of claim 1, where *a*, *b*, *c*, *d1-d6*, *e1-e6*, *f1-f6*, *g1-g6*, *h1-h6*, *i1-i6*, *j1-j6*, *k1-k6*, *l1-l6*, *m1-m6* are independently zero, one or two.

5. The compound of claim 1, wherein *R*<sub>1</sub> and *R*<sub>2</sub> are both H, *a* and *c* are one, *Y*<sub>1</sub> is O and both *E*<sub>1</sub> and *E*<sub>4</sub> are H.

10

6. The compound of claim 1, wherein *G* is polyalkylene oxide residue.

7. The compound of claim 6, wherein *G* is a polyethylene glycol residue.

15

8. The compound of claim 1, wherein *G* is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>x</sub> or -O-(CH(CH<sub>3</sub>)CH<sub>2</sub>O)<sub>x</sub>,  
wherein *x* is the degree of polymerization.

20

9. The compound of claim 8, wherein *G* is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>x</sub> and *x* is a positive integer so that the weight average molecular weight is at least about 20,000.

10. The compound of claim 9, wherein *G* has a weight average molecular weight of from about 20,000 to about 100,000.

25

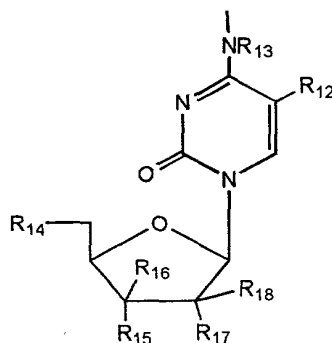
11. The compound of claim 10, wherein *G* has a weight average molecular weight of from about 25,000 to about 60,000.

12. The compound of claim 1, wherein B is a residue of an amine - containing moiety.

13. The compound of claim 12, wherein said amine-containing moiety is

5

10



wherein

R<sub>12-13</sub> are independently selected from the group consisting of hydrogen,

C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls,

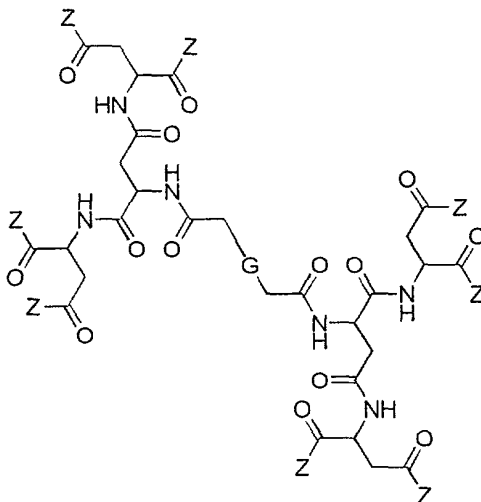
15 C<sub>3-8</sub> substituted cycloalkyls, aryls, halo, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls, substituted C<sub>1-6</sub> heteroalkyls;

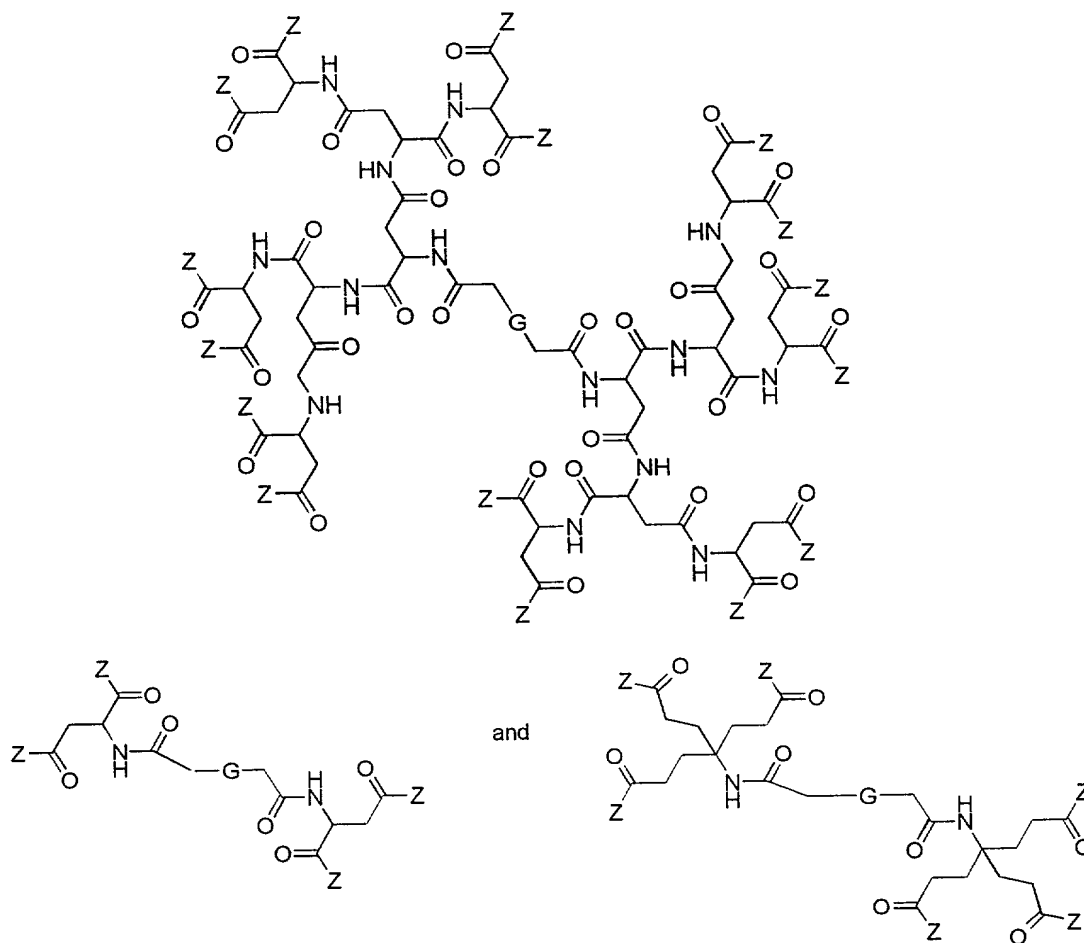
R<sub>14-18</sub> are independently selected from alkoxy, e.g. OR<sub>19</sub> or, in the alternative, H, OH, N<sub>3</sub>, NHR<sub>20</sub>, NO<sub>2</sub> or CN, fluoro, chloro, bromo, iodo, where

R<sub>19-20</sub> are independently selected from the same group which defines R<sub>12-13</sub>.

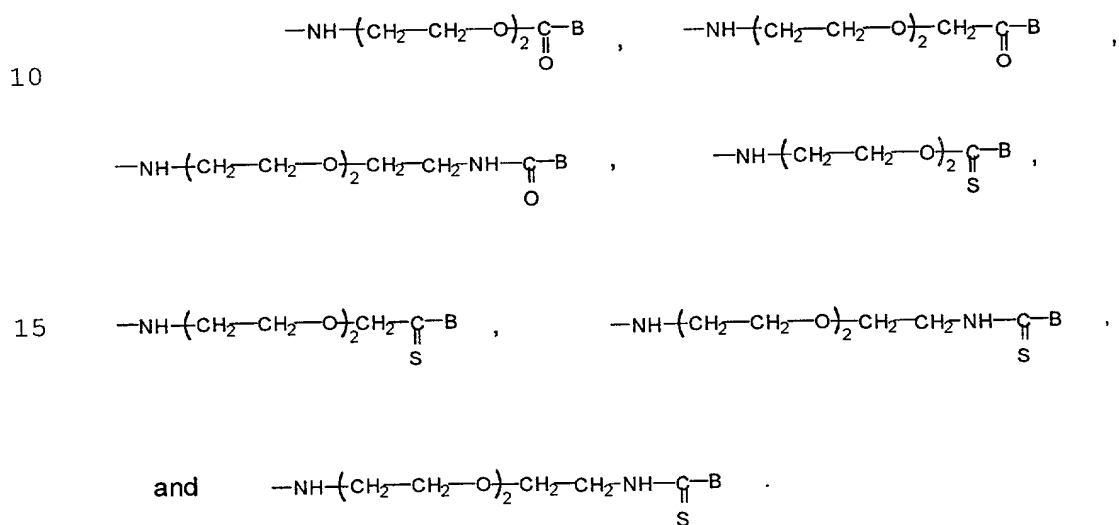
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14. A compound of claim 3, selected from the group consisting of:



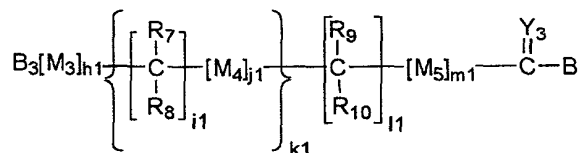


wherein Z is one of:



15. A method of preparing a polymeric transport system, comprising

a) reacting compound of the formula:



wherein

B is a residue of a biologically active amine-containing moiety or a hydroxyl-containing moiety;

B<sub>3</sub> is a cleavable protecting group;

Y<sub>3</sub> is O, S, or NR<sub>11a</sub>;

M<sub>3</sub> and M<sub>4</sub> are independently O, S, or NR<sub>11b</sub>,

M<sub>5</sub> is X or Q;

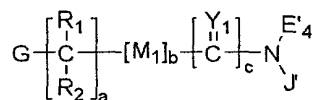
wherein X is an electron withdrawing group and Q is a moiety containing a free electron pair positioned three to six atoms from C(=Y<sub>3</sub>);

R<sub>7-10</sub> and R<sub>11a-b</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls and substituted C<sub>1-6</sub> heteroalkyls;

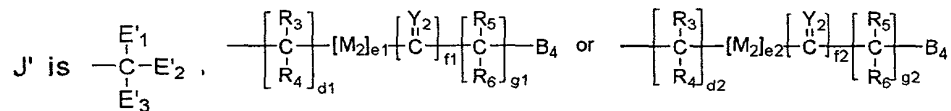
h1-m1 are each independently zero or a positive integer;

b) cleaving the cleavable protecting group B<sub>3</sub>; and

c) reacting the resultant compound with a compound of the formula

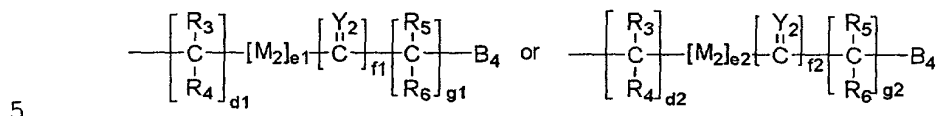


wherein



E'<sub>1-4</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls,

C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls, substituted C<sub>1-6</sub> heteroalkyls, C<sub>1-6</sub> alkoxy, phenoxy, C<sub>1-6</sub> heteroalkoxy,



wherein

B<sub>4</sub> is a leaving group;

G is a polymer residue;

Y<sub>1-2</sub> are independently O, S, or NR<sub>11a</sub>;

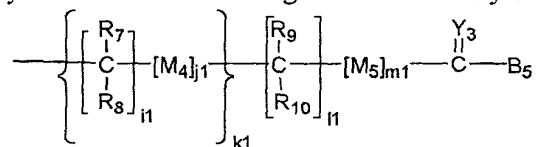
10 M<sub>1-2</sub> are independently O, S, or NR<sub>11b</sub>,

R<sub>1-6</sub>, R<sub>9</sub> and R<sub>10</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls and substituted C<sub>1-6</sub> heteroalkyls;

15 a, b, c, d<sub>1</sub>-g<sub>1</sub> and d<sub>2</sub>-g<sub>2</sub> are each independently zero or a positive integer, whereby a polymeric conjugate is formed.

16. A method of preparing a polymeric transport system, comprising:  
reacting a biologically active moiety containing an unprotected amino or

20 hydroxyl group with polymeric residue containing a terminal moiety of the formula:



wherein:

Y<sub>3</sub> is O, S, or NR<sub>11a</sub>;

25 R<sub>7-10</sub> and NR<sub>11a</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls and substituted C<sub>1-6</sub> heteroalkyls;

M<sub>4,5</sub> are independently O, S, or NR<sub>11b</sub>,

30 B<sub>5</sub> is a leaving group capable of reacting with an unprotected amino or



hydroxyl group of a biologically active moiety; and  
 $i1-m1$  are each independently zero or a positive integer,  
whereby a polymeric conjugate is formed.

5            17.    A method of treatment, comprising:  
administering to a mammal in need of such treatment an effective amount of a  
compound of claim 1, wherein B is a residue of a biologically active moiety.

             18.    A method of treatment, comprising:  
10    administering to a mammal in need of such treatment an effective amount of a  
compound of claim 3, wherein B is a residue of a biologically active moiety.